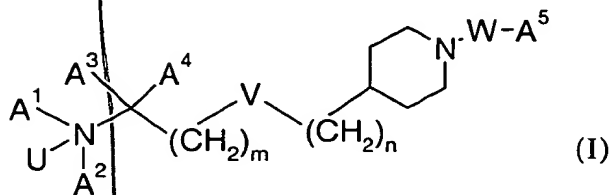


Claims

1. A compound selected from the group consisting of compounds of formula (I)



wherein

U is O or a lone pair;

V is O, -CH₂-, -CH=CH-, or -C≡C-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is CO, COO, CONR¹, CSO, CSNR¹, SO₂, or SO₂NR¹, with the provisos that:

- a) V is not -CH₂- when W is CO,
- b) m+n is 1 or 2 when V is -CH₂- and W is SO₂,
- c) m=n=0 when V is -CH=CH- and W is CO or SO₂,
- d) m is 1 to 7 when V is O, and
- e) m is 1 to 3 when V is O, W is CO or SO₂, and n is 0;

A¹ is H, lower-alkyl or lower-alkenyl,

A² is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A¹ and A² bond together to form -A¹-A²-, wherein -A¹-A²- is lower-alkylene or lower-alkenylene, optionally substituted by R², and one -CH₂- group of -A¹-A²- is optionally replaced by NR³, S, or O;

A³ and A⁴ are independently hydrogen or lower-alkyl;

A⁵ is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

R² is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R⁴, R⁵);

R¹, R³, R⁴ and R⁵ are independently hydrogen or lower-alkyl; and

When A¹ is not bonded to A², A¹ and A³ optionally bond together to form -A¹-A³-, wherein -A¹-A³- is lower-alkylene or lower-alkenylene, optionally substituted by R², and one -CH₂- group of -A¹-A³- is optionally replaced by NR³, S, or O;

pharmaceutically acceptable salts of the compounds of formula (I), and

pharmaceutically acceptable esters of the compounds of formula (I).

2. The compound according to claim 1, wherein U is a lone pair.
3. The compound according to claim 2, wherein V is O.
4. The compound according to claim 2, wherein V is $-C\equiv C-$.
5. The compound according to claim 2, wherein V is $-CH_2-$.
6. The compound according to claim 2, wherein W is CO, COO, CONH, SO_2 , or SO_2NH .
7. The compound according to claim 6, wherein W is CO, COO, or SO_2NH .
8. The compound according to claim 6, wherein W is SO_2 .
9. The compound according to claim 6, wherein W is CO.
10. The compound according to claim 2, wherein n is 0 to 2.
11. The compound according to claim 10, wherein n is 0.
12. The compound according to claim 2, wherein m is 1 to 5.
13. The compound according to claim 2, wherein m is 0 to 2.
14. The compound according to claim 2, wherein A^1 is methyl, ethyl or 2-propenyl.

15. The compound according to claim 14, wherein A² is methyl, n-propyl, i-propyl, n-butyl, 2-propenyl, 2-propinyl, cyclopropyl, cyclohexyl, cyclopropyl-methylene; or ethyl optionally substituted with hydroxy, methoxy, or ethoxycarbonyl.

16. The compound according to claim 15, wherein A² is n-propyl, 2-hydroxy-ethyl, 2-methoxy-ethyl, 2-propenyl, or cyclopropyl.

17. The compound according to claim 2, wherein A¹ and A² are bonded together to form -A¹-A²-, wherein R² is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(lower-alkyl)₂, and R³ is lower-alkyl.

18. The compound according to claim 17, wherein R^2 is methyl, hydroxy, 2-hydroxy-ethyl, or $N(CH_3)_2$, and R^3 is methyl.

19. The compound according to claim 2, wherein A³ is hydrogen.

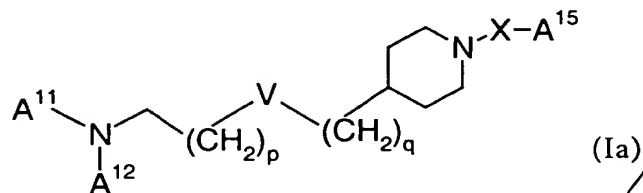
20. The compound according to claims 19, wherein A⁴ is hydrogen.

21. The compound according to claim 2, wherein A⁵ is lower-alkyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine and chlorine; lower-alkenyl, cycloalkyl, cycloalkyl-lower-alkyl, lower-alkoxy-carbonyl-lower-alkyl, naphthyl, furyl-methylene; or phenyl, benzyl or phenyl-ethylene, optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, CN, CF₃, NO₂, lower-alkyl, lower-alkoxy, thio-lower-alkoxy, lower-alkyl-carbonyl, lower-alkoxy-carbonyl, and dioxo-lower-alkylene.

22. The compound according to claim 21, wherein A⁵ is lower-alkyl, cycloalkyl-lower-alkyl; or phenyl or benzyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, and CF₃.

23. The compound according to claim 22, wherein A⁵ is n-butyl, i-butyl, cyclohexyl-methylene, phenyl, 4-chloro-phenyl, 4-bromo-phenyl, 2,5-difluoro-phenyl, 3,4-difluoro-phenyl, 4-trifluoromethyl-phenyl, or 4-chloro-benzyl.

24. A compound selected from the group consisting of compounds of formula (Ia)



wherein

V is O, -CH₂-, -CH=CH-, or -C≡C-;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is CO, COO, SO₂, or SO₂NH, with the provisos that:

a) V is not -CH₂- when X is CO,

b) p+q is 1 or 2 when V is -CH₂- and X is SO₂,

c) p=q=0 when V is -CH=CH- and X is CO or SO₂,

d) p is 1 to 5 when V is O, and

e) p is 1 to 3 when V is O, X is CO or SO₂, and q is 0;

A¹¹ is methyl or ethyl;

A¹² is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and

A¹⁵ is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

pharmaceutically acceptable salts of the compounds of formula (Ia), and

pharmaceutically acceptable esters of the compounds of formula (Ia).

25. The compound of claim 24, wherein A¹² is cyclopropyl, lower alkenyl of 2 to 4 carbon atoms, lower alkyl of 1 to 4 carbon atoms, lower alkoxy of 1 to 4 carbon atoms or a lower alkyl substituted with a lower-alkoxy having a total of 2 to 4 carbon atoms.
26. The compound of claim 25, wherein A¹⁵ is lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, aryl or aryl-lower-alkyl.

27. The compound of claim 26, wherein V is O.
28. The compound of claim 27, wherein X is CO.
29. The compound of claim 28, wherein n is 0.
30. The compound of claim 29, selected from the group consisting of {4-[4-(allyl-methyl-amino)-butoxy]-piperidin-1-yl}-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
31. The compound of claim 28, wherein n is 1.
32. The compound of claim 31, selected from the group consisting of {4-[4-(allyl-methyl-amino)-butoxymethyl]-piperidin-1-yl}-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
33. The compound of claim 31, selected from the group consisting of {4-[3-(allyl-methyl-amino)-propoxymethyl]-piperidin-1-yl}-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
34. The compound of claim 28, wherein n is 2.
35. The compound of claim 34, selected from the group consisting of 1-(4-{2-[4-(allyl-methyl-amino)-butoxy]-ethyl}-piperidin-1-yl)-2-(4-chloro-phenyl)-ethanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
36. The compound of claim 34, selected from the group consisting of (4-{2-[4-(allyl-methyl-amino)-butoxy]-ethyl}-piperidin-1-yl)-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
37. The compound of claim 34, selected from the group consisting of (4-{2-[2-(allyl-methyl-amino)-ethoxy]-ethyl}-piperidin-1-yl)-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
38. The compound of claim 27, wherein X is COO.
39. The compound of claim 38, selected from the group consisting of 4-{3-[ethyl-(2-hydroxy-ethyl)-amino]-propoxymethyl}-piperidine-1-carboxylic acid 4-chloro-phenyl

ester, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

40. The compound of claim 38, selected from the group consisting of 4-[4-(allyl-methyl-amino)-butoxymethyl]-piperidine-1-carboxylic acid 4-chloro-phenyl ester, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
41. The compound of claim 38, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-carboxylic acid isobutyl ester, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
42. The compound of claim 27, wherein X is SO₂.
43. The compound of claim 42, selected from the group consisting of allyl-{4-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yloxy]-butyl}-methyl-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
44. The compound of claim 42, selected from the group consisting of allyl-{3-[1-(4-bromo-benzenesulfonyl)-piperidin-4-yloxy]-propyl}-methyl-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
45. The compound of claim 27, wherein X is SO₂NH.
46. The compound of claim 45, wherein A¹⁵ is lower alkyl.
47. The compound of claim 46, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid butylamide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
48. The compound of claim 45, wherein A¹⁵ is cycloalkyl-lower alkyl.
49. The compound of claim 48, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid cyclohexylmethyl-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
50. The compound of claim 45, wherein A¹⁵ is phenyl.
51. The compound of claim 50, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
52. The compound of claim 45, wherein A¹⁵ is phenyl substituted with at least one halogen.

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53. The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-chloro-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
54. The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-bromo-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
55. The compound of claim 52, selected from the group consisting of 4-[6-(cyclopropyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (3,4-difluoro-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
56. The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (2,5-difluoro-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
57. The compound of claim 45, wherein A¹⁵ is phenyl substituted with trifluoromethyl.
58. The compound of claim 57, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-trifluoromethyl-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
59. The compound of claim 26, wherein V is S.
60. The compound of claim 26, wherein V is -CH₂-.
61. The compound of claim 60, selected from the group consisting of methyl-propyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-butyl}-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
62. The compound of claim 26, wherein V is -CH=CH-.
63. The compound of claim 26, wherein V is -C≡C-.
64. The compound of claim 63, wherein X is CO.
65. The compound of claim 64, selected from the group consisting of (4-chloro-phenyl)-{4-[4-(methyl-propyl-amino)-but-1-ynyl]-piperidin-1-yl}-methanone,

pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

66. The compound of claim 63, wherein X is COO.

67. The compound of claim 63, wherein X is SO₂.

68. The compound of claim 67, selected from the group consisting of methyl-propyl-{3-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-prop-2-ynyl}-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

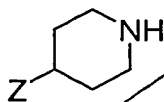
69. The compound of claim 67, selected from the group consisting of 2-(ethyl-{5-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-pent-4-ynyl}-amino)-ethanol, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

70. The compound of claim 67, selected from the group consisting of 2-(ethyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amino)-ethanol, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

71. The compound of claim 67, selected from the group consisting of ethyl-(2-methoxy-ethyl)-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

72. The compound of claim 63, wherein X is SO₂NH.

73. A process for the manufacture of compounds according to claim 1, which process comprises reacting a compound of formula (II)



(II)

wherein Z is (A¹,A²)N-C(A³,A⁴)-(CH₂)_m-V-(CH₂)_n-, X-CH₂-(CH₂)_m-V-(CH₂)_n-, HO(CH₂)_n-, or HOOC(CH₂)_n-, wherein X is chlorine, bromine, iodine, methanesulfonyl, or toluenesulfonyl, and A¹, A², A³, A⁴, V, m and n are as defined in claim 1, with ClSO₂-A⁵, ClCOO-A⁵, ClCSO-A⁵, OCN-A⁵, SCN-A⁵, HOOC-A⁵, or ClSO₂NR¹-A⁵, wherein A⁵ is as defined in claim 1.

74. A pharmaceutical composition comprising a compound according to claim 1 and at least one of a pharmaceutically acceptable carrier or a pharmaceutically acceptable adjuvant.

75. A method for the treatment and/or prophylaxis of diseases which are associated with OSC such as hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycoses, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and/or treatment and/or prophylaxis of impaired glucose tolerance and diabetes, which method comprises administering a compound according to claim 1 to a human being or animal.
